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Preparation of epothilone derivatives - comprises substituting epothilone A, B, C or D at two carbon(s)

Patent Assignee: GES BIOTECHNOLOGISCHE FORSCHUNG MBH (GBFB )

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Abstract (Basic): DE 19821954 A

Preparation of epothilone derivatives comprises: (a) substituting epothilone A, B, C or D at carbons 2 and 3 with -CH2CHOH- or -CH=CH-, where an OH group or protected OH group is provided at positions 3 and 7; (b) oxidising position 16 into a keto group; (c1) exchanging the oxygen in the keto group using C6H5-P=CH2 into a =CH2- group, and optionally (d1) reacting the =CH2- with a catalyst and R-CH=CH2 to give =CH2-R-, where R = an aliphatic residue, phenyl residue or a heterocyclic residue, especially a pharmaceutical residue, or (c2) exchanging carbon 16 and 17 for a -CH=CH2 group, and optionally (d2) reacting this group using a metathesis to give -CH=CH-R-.

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